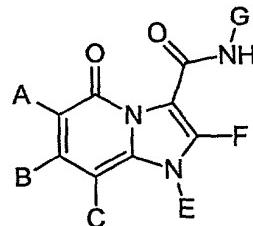


WHAT IS CLAIMED IS:

1. A compound of the formula:



or a pharmaceutically acceptable salt thereof wherein:

5 A, B and C are independently selected from:

(i) hydrogen, halogen, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy;

(ii) C₁-C₆ alkyl, C₃-C₇ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl,

10 where each alkyl, cycloalkyl, alkenyl, or alkynyl is optionally substituted with one or more of hydroxy, oxo, halogen, amino, C₁-C₆ haloalkyl, C₃-C₇ cycloalkyl, C₁-C₃ alkoxy, or mono- or di(C₁-C₆)alkylamino; and

15 (iii) R³R⁴N- where

R³ and R⁴ independently represent hydrogen, C₁-C₆ alkyl, amino(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-C₆)alkyl, or C₃-C₇ cycloalkyl; or

NR³R⁴ represents heteroaryl or heterocycloalkyl; and

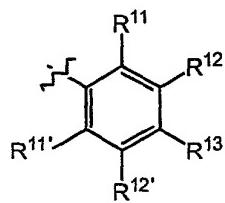
20 E is hydrogen or

E is C₁-C₆ alkyl, amino(C₁-C₆)alkyl, mono or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, or C₁-C₆alkoxy(C₁-C₆)alkyl, each alkyl portion being unsubstituted or substituted with one or more of halogen, hydroxy, C₃-C₇ cycloalkyl, aryl, heterocycloalkyl, or heteroaryl;

25 F is selected from hydrogen, halogen, hydroxy, amino, and C₁-C₆ alkyl;

G is selected from

(i) a group of the formula



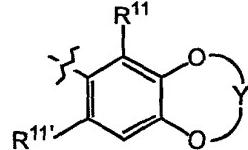
where R^{11} , $R^{11'}$, R^{12} , $R^{12'}$, and R^{13} are the same or different and are selected from

5 hydrogen, halogen, C_1-C_6 alkyl, hydroxy, trifluoromethyl,
-OR², and -NR⁶R⁷, where

R², R⁶ and R⁷ are the same or different and are selected from hydrogen, C_1-C_6 alkyl, and C_3-C_7 cycloalkyl; or

10 NR⁶R⁷ represents heteroaryl or heterocycloalkyl;

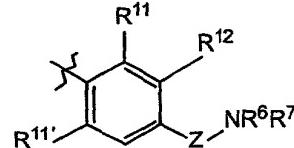
10 (ii) a group of the formula:



where Y is C_1-C_6 alkylene, and

R^{11} and $R^{11'}$ are as defined above;

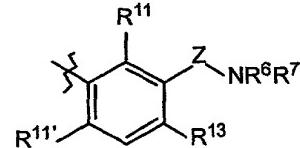
15 (iii) a group of the formula:



where R⁶, R⁷, R¹¹, and R^{11'} are as defined above; and

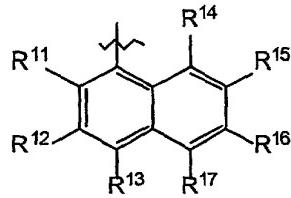
Z is C_1-C_6 alkylene or C_1-C_6 alkyleneoxy;

15 (iv) a group of the formula:



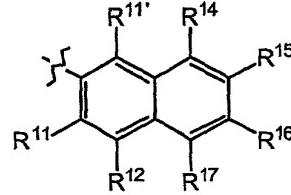
20 where Z, R⁶, R⁷, R¹¹, R^{11'}, and R¹³ are as defined above;

(v) a group of the formula:



where R^{11} , R^{12} , and R^{13} are as defined above, and R^{14} , R^{15} , R^{16} , and R^{17} independently carry the same definitions as R^{11} ;

5 (vi) a group of the formula:



where R^{11} , $R^{11'}$, R^{12} , R^{14} , R^{15} , R^{16} , and R^{17} are as defined above; and

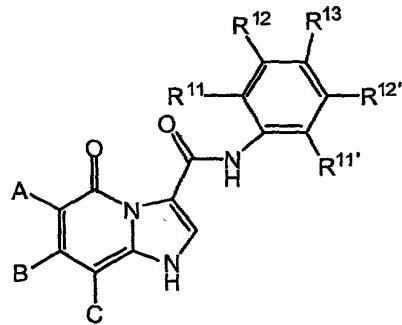
(vii) a group of the formula:



10

where Q represents a heteroaryl group.

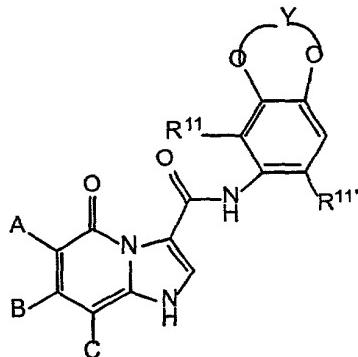
2. A compound or salt according to Claim 1 of the formula:



15

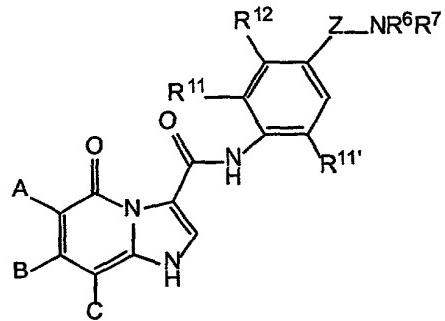
where A, B, C, R^{11} , $R^{11'}$, R^{12} , $R^{12'}$ and R^{13} are as defined in Claim 1.

3. A compound or salt according to Claim 1 of the
20 formula:



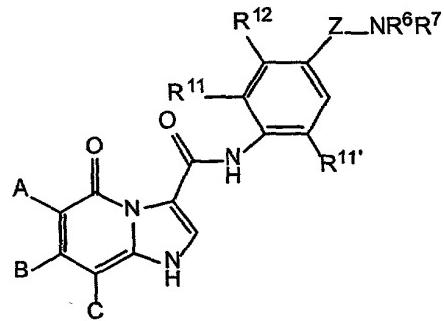
where A, B, C, R¹¹, R^{11'}, and Y are as defined in Claim 1.

4. A compound or salt according to Claim 1 of the
5 formula:



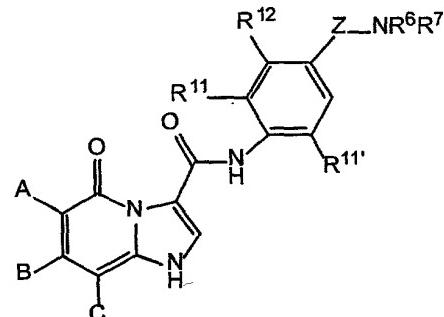
where A, B, C, R¹¹, R^{11'}, R¹², Z, R⁶, and R⁷ are as defined in
Claim 1.

- 10 5. A compound or salt according to Claim 1 of the
formula:



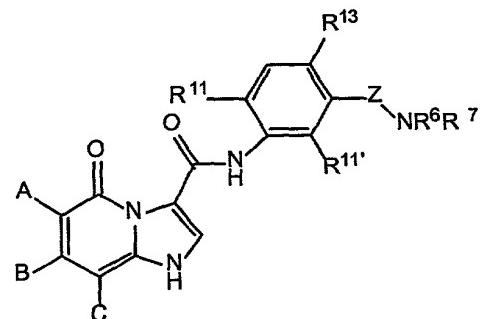
where A, B, C, R¹¹, R^{11'}, and R¹², are as defined in Claim 1;
Z is C₁-C₆ alkylene or C₁-C₆ alkyleneoxy; and
15 NR⁶R⁷ represents 5- or 6- membered heteroaryl.

6. A compound or salt according to Claim 1 of the formula:



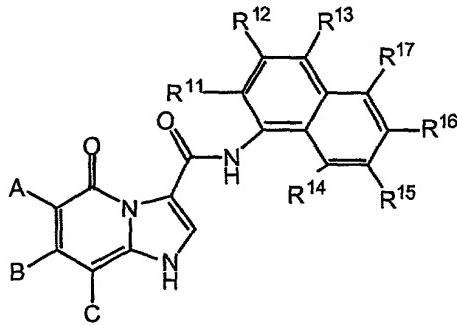
5 where A, B, C, R¹¹, R^{11'}, and R¹², are as defined in Claim 1;
Z is C₁-C₆alkylene or C₁-C₆alkyleneoxy; and
NR⁶R⁷ represents imidazole, triazole, or pyrazole.

7. A compound or salt according to Claim 1 of the
10 formula:



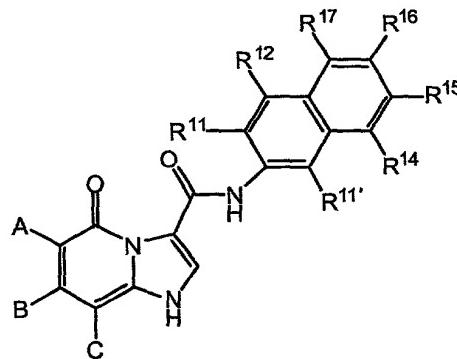
where A, B, C, R¹¹, R^{11'}, R¹³, Z, R⁶, and R⁷ are as defined in
Claim 1.

15 8. A compound or salt according to Claim 1 of the
formula:



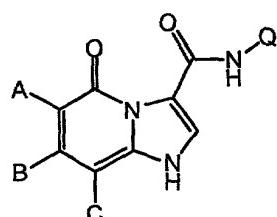
where A, B, C, R¹¹, R¹², R¹³, R¹⁴, R¹⁵, R¹⁶, and R¹⁷ are as defined in Claim 1.

5 9. A compound or salt according to Claim 1 of the formula:



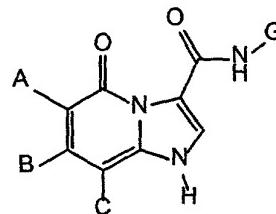
where A, B, C, R¹¹, R¹², R^{11'}, R¹⁴, R¹⁵, R¹⁶, and R¹⁷ are as defined in Claim 1.

10 10. A compound or salt according to Claim 1 of the formula:



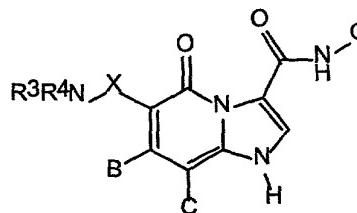
where A, B, C, and Q are as defined in Claim 1.

15 11. A compound or salt according to Claim 1 of the formula:



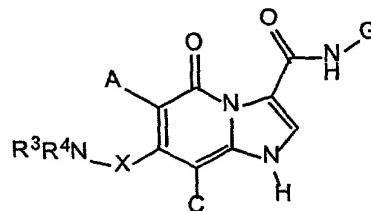
where A, B, C and G are as defined in Claim 1.

12. A compound or salt according to Claim 1 of the
5 formula:



where R³, R⁴, B, C, and G are as defined in Claim 1, and X is C₁-C₆ alkylene.

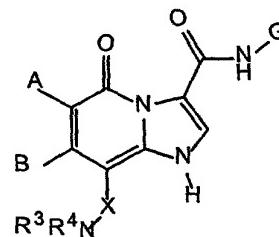
- 10 13. A compound or salt according to Claim 1 of the
formula:



where R³, R⁴, A, C, and G are as defined in Claim 1, and X is C₁-C₆ alkylene.

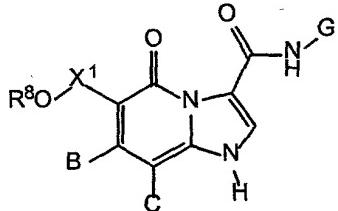
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14. A compound or salt according to Claim 1 of the
formula of the formula:



where R^3 , R^4 , A, B, and G are as defined in Claim 1, and X is C_1-C_6 alkylene.

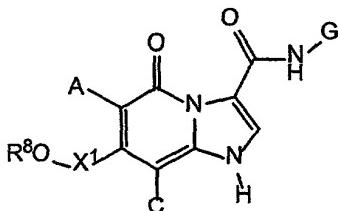
15. A compound or salt according to Claim 1 of the
5 formula:



where B, C, and G are as defined in Claim 1, R^8 is defined the same as R^2 , and X^1 is C_1-C_6 alkylene or C_1-C_6 alkyleneamino.

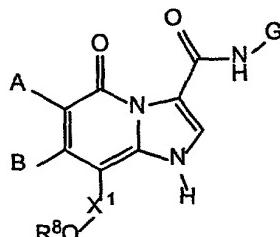
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16. A compound or salt according to Claim 1 of the
formula:



15 where A, C, and G are as defined in Claim 1, R^8 is defined the same as R^2 , and X^1 is C_1-C_6 alkylene or C_1-C_6 alkyleneamino.

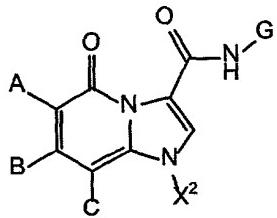
17. A compound or salt according to Claim 1 of the
formula:



20

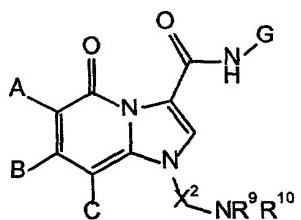
where A, B, and G are as defined in Claim 1, R⁸ is defined the same as R², and X¹ is C₁-C₆ alkylene or C₁-C₆ alkyleneamino.

5 18. A compound or salt according to Claim 1 of the formula:



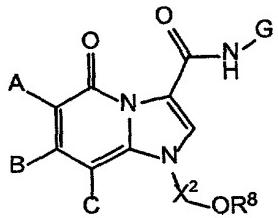
where A, B, C, G, are as defined in Claim 1, and X² is C₁-C₆ alkyl.

10 19. A compound or salt according to Claim 1 of the formula:



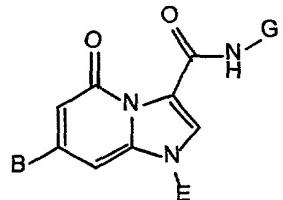
15 where A, B, C, and G are as defined in Claim 1, R⁹ and R¹⁰ are independently defined the same as R³ and R⁴, and X² is C₁-C₆ alkylene.

20. A compound or salt according to Claim 1 of the formula:



20 where A, B, C, G are as defined in Claim 1, R⁸ is defined the same as R², and X² is C₁-C₆ alkylene.

21. A compound or salt according to Claim 1 of the formula:



5 where E and G are as defined in Claim 1 and B is selected from hydrogen and methyl.

22. A compound according to Claim 1, which is N-(2,5-difluorophenyl) 7-methyl-5-oxo-imidazo[1,2-a]pyridyl-3-carboxamide or a pharmaceutically acceptable salt thereof.

23. A compound according to Claim 1, which is N-Phenyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

15 24. A compound according to Claim 1, which is N-(2-Fluorophenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

20 25. A compound according to Claim 1, which is N-(2-fluoro-4-Chloro-phenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

25 26. A compound according to Claim 1, which is N-(2-Fluoro-3-trifluoromethylphenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

27. A compound according to Claim 1, which is N-(3-Methylphenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

28. A compound according to Claim 1, which is N-(4-Trifluoromethoxyphenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

5

29. A compound according to Claim 1, which is N-(2-Fluoro-4-ethoxyphenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

10

30. A compound according to Claim 1, which is N-(2-Fluoro-4-ethoxyphenyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

15

31. A compound according to Claim 1, which is N-[4-(2-Dimethylaminoethoxy)phenyl] 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

20

32. A compound according to Claim 1, which is N-[4-(3-Imidazyl-1-propoxy)phenyl] 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide hydrochloride or a pharmaceutically acceptable salt thereof.

25

33. A compound according to Claim 1, which is N-(2-Naphthyl) 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

34. A compound according to Claim 1, which is N-Phenyl 7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

30

35. A compound according to Claim 1, which is N-(4-Fluorophenyl) 7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.

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36. A compound according to Claim 1, which is N-(4-Hydroxyphenyl) 7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.
- 5 37. A compound according to Claim 1, which is N-(2,4-Difluorophenyl) 7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.
- 10 38. A compound according to Claim 1, which is N-(2-Fluoro-4-hydroxyphenyl) 7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.
- 15 39. A compound according to Claim 1, which is N-(4-Hydroxyphenyl) 1-(N-Ethyl) 7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.
- 20 40. A compound according to Claim 1, which is N-(4-Hydroxyphenyl) 1-(3-imidazyl-1-propyl)-7-methyl 5-oxo-imidazo[1,2-a]pyridine-3-carboxamide or a pharmaceutically acceptable salt thereof.
- 25 41. A pharmaceutical composition comprising a compound or salt according to claim 1 combined with at least one pharmaceutically acceptable carrier or excipient.
- 30 42. A method for altering the signal-transducing activity of GABA_A receptors, said method comprising contacting cells expressing such receptors with a solution comprising a compound or salt according to Claim 1 at a concentration sufficient to detectably alter the electrophysiology of the cell, wherein a detectable alteration of the electrophysiology of the cell indicates an alteration of the signal-transducing activity of GABA_A receptors.

43. A method according to Claim 42 wherein the detectable alteration of the electrophysiology of the cell is a change in the chloride ion conductance of the cell.

5

44. The method of Claim 42 wherein the cell is recombinantly expressing a heterologous GABA_A receptor and the alteration of the electrophysiology of the cell is detected by intracellular recording or patch clamp recording.

10

45. The method of Claim 42 wherein the cell is a neuronal cell that is contacted in vivo in an animal, the solution is a body fluid, and the alteration in the electrophysiology of the cell is detected as a reproducible change in the animal's behavior.

15
46. The method of Claim 44 wherein the animal is a human, the cell is a brain cell, and the fluid is cerebrospinal fluid.

20

47. A method for altering the signal-transducing activity of GABA_A receptors, the method comprising exposing cells expressing GABA_A receptors to a compound or salt according to claim 1 at a concentration sufficient to inhibit R015-1788 binding in vitro to cells expressing a human GABA_A receptor.

25
30
48. A method for the treatment of anxiety, depression, a sleep disorder, or Alzheimer's dementia comprising administering an effective amount of a compound or salt of Claim 1 to a patient in need thereof.

49. A method for demonstrating the presence of GABA_A receptors in cell or tissue samples, said method comprising:

(a) preparing a plurality of matched cell or tissue samples,

(b) preparing at least one control sample by contacting (under conditions that permit binding of R015-1788 to GABA_A receptors within cell and tissue samples) at least one of the matched cell or tissue samples (that has not previously been contacted with any compound or salt of Claim 1) with a control solution comprising a detectably-labeled preparation of a selected compound or salt of Claim 1 at a first measured molar concentration, said control solution further comprising an unlabelled preparation of the selected compound or salt at a second measured molar concentration, which second measured concentration is greater than said first measured concentration,

(c) preparing at least one experimental sample by contacting (under conditions that permit binding of R015-1788 to GABA_A receptors within cell and tissue samples) at least one of the matched cell or tissue samples (that has not previously been contacted with any compound or salt of Claim 1) with an experimental solution comprising the detectably-labeled preparation of the selected compound or salt at the first measured molar concentration, said experimental solution not further comprising an unlabelled preparation of any compound or salt of Claim 1 at a concentration greater than or equal to said first measured concentration;

(d) washing the at least one control sample to remove unbound selected compound or salt to produce at least one washed control sample;

(e) washing the at least one experimental sample to remove unbound selected compound or salt to produce at least one washed experimental sample;

(f) measuring the amount of detectable label of any remaining bound detectably-labeled selected compound or salt in the at least one washed control sample;

(g) measuring the amount detectable label of any remaining bound detectably-labeled selected compound or salt in the at least one washed experimental sample; and

5 (h) comparing the amount of detectable label measured in each of the at least one washed experimental sample to the amount of detectable label measured in each of the at least one washed control sample

10 wherein, a comparison that indicates the detection of a greater amount of detectable label in the at least one washed experimental sample than is detected in any of the at least one washed control samples demonstrates the presence of GABA_A receptors in that experimental sample.

15 50. The method of Claim 49 in which the cell or tissue sample is a tissue section.

20 51. The method of Claim 49 in which the detectable label is a radioactive label or a directly or indirectly luminescent label.

25 52. The method of Claim 49 in which each cell or tissue sample is a tissue section, the detectable label is a radioactive label or a directly or indirectly luminescent label, and the detectable label is detected autoradiographically to generate an autoradiogram for each of the at least one samples.

30 53. The method of Claim 52 in which each measurement of the amount of detectable label in a sample is carried out by viewing the autoradiograms and the comparison is a comparison of the exposure density of the autoradiograms.

54. A package comprising a pharmaceutical composition of claim 41 in a container and further comprising indicia comprising at least one of:

5 (a) instructions for using the composition to treat a patient suffering from an anxiety disorder, or

(b) instructions for using the composition to treat a patient suffering from depression, or

(c) instructions for using the composition to treat a patient suffering from a sleeping disorder.

10

55. A package comprising a pharmaceutical composition of claim 41 in a container and further comprising indicia comprising at least one of: instructions for using the composition to treat a patient suffering from Alzheimer's dementia or instructions for using the composition to enhance cognition in a patient.

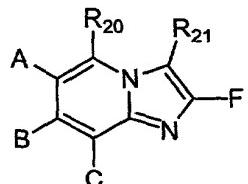
56. The use of a compound or salt according to Claim 1 for the manufacture of a medicament.

20

57. The use of a compound or salt according to Claim 1 for the treatment of anxiety, depression, a sleep disorder, or Alzheimer's dementia.

25

58. A compound of the formula:



where

A, B and C independently represent hydrogen, halogen, C₁-C₆ alkyl,

30 wherein said C₁-C₆ alkyl is straight, branched or cyclic, contains zero, one or two double or triple bonds, and is

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unsubstituted or substituted with one or more substituents selected from hydroxy, oxo, halogen, amino, mono- or di(C₁-C₆)alkylamino, C₁-C₃ alkoxy, and C₃-C₇ cycloalkyl,

- 5 C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, amino, mono- or di(C₁-C₆)alkylamino, C₃-C₇ cycloalkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkoxy, aryl(C₁-C₆)alkyl and substituted aryl(C₁-C₆)alkyl;
F is selected from hydrogen, halogen, hydroxy, amino, and
- 10 C₁-C₆ alkyl;

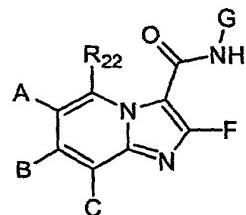
R₂₀ represents halogen, C₁-C₆ alkoxy, or benzyloxy; and
R₂₁ represents hydrogen or halogen.

59. A compound according to claim 58, wherein A, C, and
15 F are hydrogen, R₂₀ is halogen and R₂₁ is hydrogen.

60. A compound according to claim 58, wherein R₂₀ is C₁-C₆ alkoxy, and R₂₁ is hydrogen or halogen.

- 20 61. A compound according to claim 58, wherein R₂₀ is C₁-C₆ alkoxy, R₂₁ is halogen, and B is C₁-C₆ alkyl.

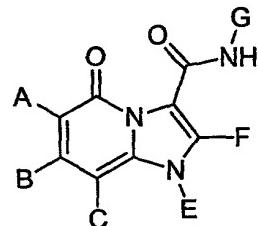
62. A compound of the Formula:



- 25 where or a pharmaceutically acceptable salt thereof wherein:
A, B and C independently represent hydrogen, halogen, C₁-C₆ alkyl,
wherein said C₁-C₆ alkyl is straight, branched or cyclic,
contains zero, one or two double or triple bonds, and is
30 unsubstituted or substituted with one or more

- substituents selected from hydroxy, oxo, halogen, amino, mono- or di(C₁-C₆)alkylamino, C₁-C₃ alkoxy, and C₃-C₇ cycloalkyl,
- 5 C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, amino, mono- or di(C₁-C₆)alkylamino, C₃-C₇ cycloalkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alcoxy, aryl(C₁-C₆)alkyl and substituted aryl(C₁-C₆)alkyl;
- F is selected from hydrogen, halogen, hydroxy, amino, and C₁-C₆ alkyl;
- 10 G is selected from aryl and heteroaryl, each of which is optionally substituted with up to three groups independently selected from the group consisting of halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, mono- or di(C₁-C₆)alkylamino, and C₁-C₆ alkyl substituted with one or two groups independently selected from -OR², -NR⁶R⁷, and heterocycloalkyl groups, where R², R⁶ and R⁷ are the same or different and represent hydrogen, C₁-C₆ alkyl, or C₃-C₇ cycloalkyl, or NR⁶R⁷ represents a cyclic moiety having 3-7 members; and
- 20 R₂₂ represents benzyloxy or C₁-C₆ alkoxy.

63. A compound of the formula



or a pharmaceutically acceptable non-toxic salt thereof
25 wherein

A, B and C independently represent hydrogen, halogen, C₁-C₆ alkyl,

wherein said C₁-C₆ alkyl is straight, branched or cyclic,
contains zero, one or two double or triple bonds, and is
30 unsubstituted or substituted with one or more

substituents selected from hydroxy, oxo, halogen, amino, mono- or di(C₁-C₆)alkylamino, C₁-C₃ alkoxy, and C₃-C₇ cycloalkyl,

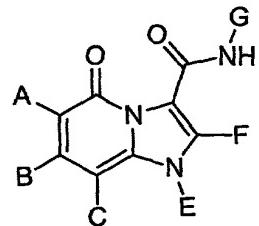
C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, amino, mono- or di(C₁-C₆)alkylamino, C₃-C₇ cycloalkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkoxy, aryl(C₁-C₆)alkyl and substituted aryl(C₁-C₆)alkyl;

E is selected from hydrogen, hydroxy, C₁-C₆ alkyl, and mono- or di(C₁-C₆)alkyl amino(C₁-C₆)alkyl;

F is selected from hydrogen, halogen, hydroxy, amino, and C₁-C₆ alkyl;

G is selected from aryl and heteroaryl, each of which is optionally substituted with up to three groups independently selected from the group consisting of halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, mono- or di(C₁-C₆)alkylamino, and C₁-C₆ alkyl substituted with one or two groups independently selected from -OR², -NR⁶R⁷, and heterocycloalkyl, where R², R⁶ and R⁷ are the same or different and represent hydrogen, C₁-C₆ alkyl, or C₃-C₇ cycloalkyl, or NR⁶R⁷ represents a cyclic moiety having 3-7 members.

64. A process for making a compound of the formula:



or a pharmaceutically acceptable non-toxic salt thereof
25 wherein

A, B and C independently represent hydrogen, halogen, C₁-C₆ alkyl,

wherein said C₁-C₆ alkyl is straight, branched or cyclic, contains zero, one or two double or triple bonds, and is unsubstituted or substituted with one or more

substituents selected from hydroxy, oxo, halogen, amino, mono- or di(C₁-C₆)alkylamino, C₁-C₃ alkoxy, and C₃-C₇ cycloalkyl,

5 C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, amino, mono- or di(C₁-C₆)alkylamino, C₃-C₇ cycloalkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkyl, mono- or di(C₁-C₆)alkylamino(C₁-C₆)alkoxy, aryl(C₁-C₆)alkyl and substituted aryl(C₁-C₆)alkyl;

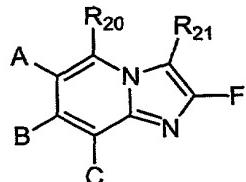
E is selected from hydrogen, hydroxy, C₁-C₆ alkyl, and mono- or di(C₁-C₆)alkyl amino(C₁-C₆)alkyl;

10 F is selected from hydrogen, halogen, hydroxy, amino, and C₁-C₆ alkyl;

G is selected from aryl and heteroaryl, each of which is optionally substituted with up to three groups independently selected from the group consisting of halogen, C₁-C₆ alkyl, 15 C₁-C₆ alkoxy, C₁-C₆ haloalkyl, hydroxy, mono- or di(C₁-C₆)alkylamino, and C₁-C₆ alkyl substituted with one or two groups independently selected from -OR², -NR⁶R⁷, and heterocycloalkyl, where R², R⁶ and R⁷ are the same or different and represent hydrogen, C₁-C₆ alkyl, or C₃-C₇ cycloalkyl, or 20 NR⁶R⁷ represents a cyclic moiety having 3-7 members.

65. A process according to claim 64, wherein the process comprises

reacting a compound of the formula



25

where

R₂₀ represents halogen, C₁-C₆ alkoxy, or benzyloxy; and

R₂₁ represents hydrogen or halogen,

with an isocyanate of formula G-CNO, where G is defined above.

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